melanin.

Delet ~~SUMMARY OF THE INVENTION~~

The mechanism for the formation of the pigmentation of the skin, that is to say the formation of melanin, is particularly complex and schematically involves the following main steps:

Tyrosine ---> Dopa ---> Dopaquinone ---> Dopachrome ---> Melanin

Tyrosinase is the main enzyme involved in this sequence of reactions. It catalyzes in particular the reaction for converting tyrosine to Dopa (dihydroxyphenylalanine) and the reaction for converting the Dopa to dopaquinone. This tyrosinase acts only when it is in the state of maturation under the action of certain biological factors.

A substance is recognized as being depigmenting if it acts directly on the vitality of the epidermal melanocytes where melanogenesis occurs and/or if it interferes with one of the steps in the biosynthesis of melanin either by inhibiting one of the enzymes involved in melanogenesis or by being involved as a structural analogue of one of the chemical compounds in the chain for the synthesis of melanin, which chain may then be blocked and thus bring about depigmentation.

The substances most widely used as depigmenting agents are more particularly hydroquinone and its derivatives, in particular its ethers such as hydroquinone monomethyl ether and monoethyl ether. Although they are definitely effective, these compounds are unfortunately not free of side effects because of their toxicity, which can make their use delicate, or even dangerous. This toxicity comes from the fact that they act on the basic mechanisms of melanogenesis by killing cells which then risk disrupting their biological environment and which consequently force the skin to delete them by

producing toxins.

Thus, hydroquinone, whose use is in fact legally limited in Europe to a concentration of 2%, is a compound which is particularly irritating and cytotoxic for the melanocyte, whose complete or partial replacement has been envisaged by many authors.

The use of harmless topical depigmenting substances having a high efficacy is most particularly sought for the treatment of regional hyperpigmentations caused by melanocyte hyperactivity, such as idiopathic melasmas, occurring during pregnancy ("mask of pregnancy" or chloasma), or oestroprogestrogen contraception, localized hyperpigmentations caused by benign melanocyte hyperactivity and proliferation, such as senile pigmented spots called actinic lentigo, accidental hyperpigmentations such as photosensitization and post-lesion cicatrization, as well as certain leukodermas such as vitiligo. For the latter hyperpigmentations, short of being able to repigment the damaged skin, depigmentation of the areas of residual normal skin is completed in order to give the whole skin a homogeneous light complexion.

Thus, substances have been sought which are not involved in the mechanism of melanogenesis but which, instead, act upstream on tyrosinase by preventing its activation and are consequently a lot less toxic.

Various depigmenting agents have thus been proposed. In particular, it has been demonstrated that certain aminophenol derivatives have the property of inhibiting melanogenesis even in low concentrations, without demonstrating cytotoxicity. These compounds, which are described in International PCT Patent Application No. WO 99/10318, comprise in particular N-cholesteryloxycarbonyl-4-para-aminophenol.

However, a need remains for even more effective compositions.

insert here → Summary of The Invention

Accordingly, it is one object of the present invention to provide novel cosmetic or